

10/646,256

=> file caplus

FILE 'CAPLUS' ENTERED AT 12:50:06 ON 28 JUL 2004

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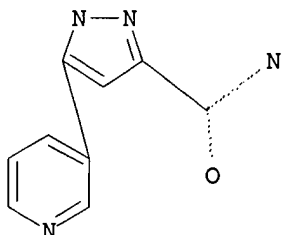
FILE COVERS 1907 - 28 Jul 2004 VOL 141 ISS 5

FILE LAST UPDATED: 27 Jul 2004 (20040727/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d que

L1 STR



Structure attributes must be viewed using STN Express query preparation.

L3 17 SEA FILE=REGISTRY SSS FUL L1

L4 11 SEA FILE=CAPLUS L3

=> d l4 1-11 ibib abs hitstr

L4 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:493566 CAPLUS

DOCUMENT NUMBER: 141:38610

TITLE: Preparation of substituted thiophenes and related compounds as prenylation inhibitors

INVENTOR(S): Li, Francine Feirong; Rehder, Kenneth S.; Campbell, Michael Gordon; Viscardi, Celeste Patrice; Strachan, Jon-paul; Guo, Zhengming

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 117 pp., Cont.-in-part of U.S. Ser. No. 336,285.

CODEN: USXXCO

DOCUMENT TYPE: Patent

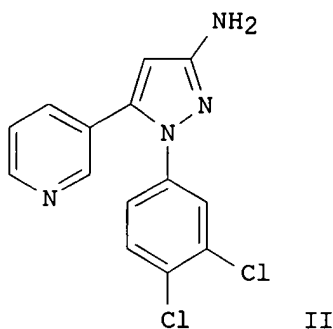
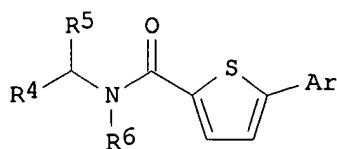
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004116425	A1	20040617	US 2003-636327	20030806
US 6649638	B1	20031118	US 2003-336285	20030103
PRIORITY APPLN. INFO.:			US 2002-219628	B2 20020814
			US 2003-336285	A2 20030103
			US 2003-454554P	P 20030314

GI



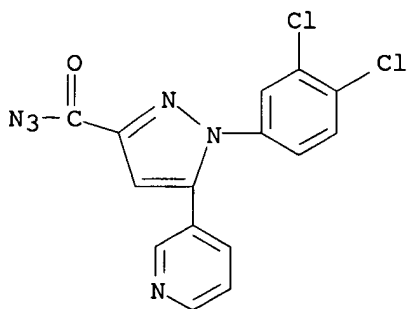
AB Title compds. I [Ar = heterocyclyl; R4 = absent, H, NH2, CONMe2, etc.; R5 = absent, i-Pr, benzyl, etc.; R6 = H, Me, Et, Pr, etc.] and related compds. are prepd. For instance, 1-(3,4-dichlorophenyl)-5-(pyridin-3-yl)-1H-pyrazole-3-carboxylic acid Me ester.bul.HCl (prepn. given) is sapond. (THF/H2O, NaOH) and converted to the Boc-protected pyrazole-3-amine (i. DMF, t-BuOH, DPPA, Et3N; ii. t-BuOH, reflux, 4 h) and deprotected to II. Compds. of the invention have inhibitory activity for GTPase I [no data]. I inhibit protein prenylation and are useful for treating cancer, restenosis, psoriasis, etc.

IT **623158-60-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of substituted thiophenes and related compds. as prenylation inhibitors)

RN 623158-60-1 CAPLUS

CN 1H-Pyrazole-3-carbonyl azide, 1-(3,4-dichlorophenyl)-5-(3-pyridinyl)-(9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:162776 CAPLUS

DOCUMENT NUMBER: 140:217636

TITLE: Preparation of pyridinylpyrazolylcyclobutylacetamides

10/646,256

as prenylation inhibitors
INVENTOR(S): Brown, Bradley B.; Rehder, Kenneth S.; Strachan,
Jon-paul; Eaves, Jeron H.; Lowden, Christopher T.
PATENT ASSIGNEE(S): Ppd Discovery, Inc., USA
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

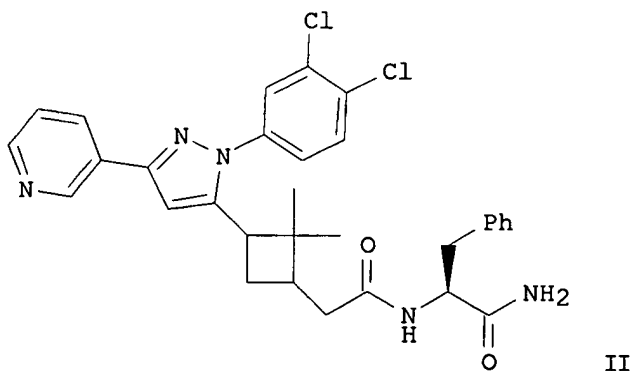
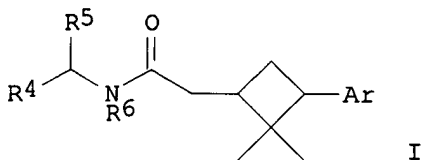
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004016741	A2	20040226	WO 2003-US24984	20030806
WO 2004016741	A3	20040408		

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GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG,
KZ, MD, RU, TJ
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
GW, ML, MR, NE, SN, TD, TG

US 6664277	B1	20031216	US 2003-336186	20030103
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PRIORITY APPLN. INFO.:
US 2002-219851 A 20020814
US 2003-336186 A 20030103
US 2003-454554P P 20030314

OTHER SOURCE(S): MARPAT 140:217636
GI



AB Title compds. [I; Ar = Q1, Q2; X = C, N, O, S; R1 = Ph, PhCH2, Me, Et, Pr, pyrimidinyl, etc.; R2 = Me, pyridyl, 3-cyanophenyl, 2-methylthiazolyl,

5-methylisoxazolyl, NMe₂, etc.; R₃ = null, CH₂CH₂OH, CH₂CH₂OMe, CH₂CH₂NMe₂, CH₂CH₂CO₂H, CH₂OH, CH₂CH₂SMe, etc.; R₄ = null, H, NH₂, CONMe₂, CO₂H, cyano, CH₂OH, CONHMe, CO₂Me, C(:NOH)NH₂, tetrazolyl, etc.; R₅ = null, Me₂CH, PhCH₂, 4-trifluoromethylbenzyl, 4-cyanobenzyl, 3,4-dichlorobenzyl, 4-fluorobenzyl, etc.; R₆ = H, Me, Et, Pr, Me₂CH, CH₂CO₂H, PhCH₂, CH₂CO₂Et, 2-methoxynaphthylmethyl], were prepd. for treatment of cancer, infection, ischemia, restenosis, psoriasis, endometriosis, atherosclerosis, hypercholesterolemia, angiogenesis, and corneal neovascularization (no data). Thus, title compd. (II) was prepd. in several steps from (S)-.alpha.-pinene.

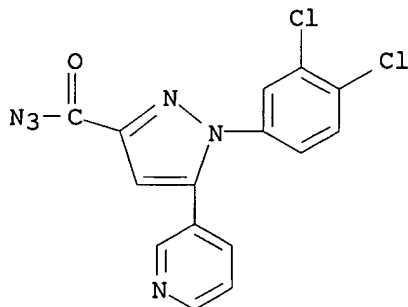
IT **623158-60-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of pyridinylpyrazolylcyclobutylacetamides as prenylation inhibitors)

RN 623158-60-1 CAPLUS

CN 1H-Pyrazole-3-carbonyl azide, 1-(3,4-dichlorophenyl)-5-(3-pyridinyl)-(9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:162671 CAPLUS

DOCUMENT NUMBER: 140:199323

TITLE: Preparation of substituted thiophenes and related compounds as prenylation inhibitors

INVENTOR(S): Li, Francine Feirong; Rehder, Kenneth S.; Campbell, Michael Gordon; Viscardi, Celeste Patrice; Strachan, Jon-Paul; Guo, Zhengming

PATENT ASSIGNEE(S): PPD Discovery, Inc., USA

SOURCE: PCT Int. Appl., 137 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

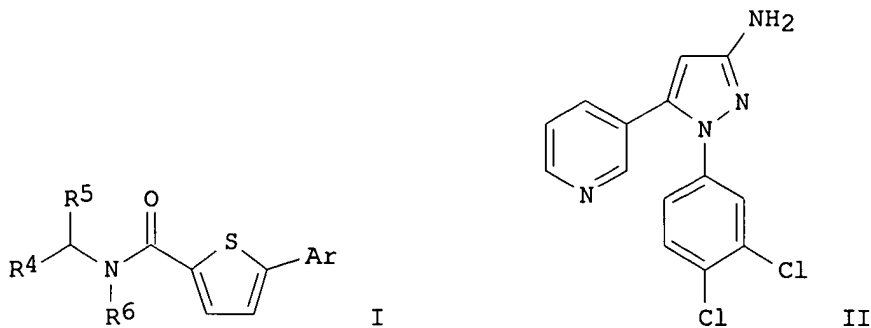
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004016592	A1	20040226	WO 2003-US24985	20030806
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,				

10/646,256

CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
GW, ML, MR, NE, SN, TD, TG

US 6649638 B1 20031118 US 2003-336285 20030103
PRIORITY APPLN. INFO.: US 2002-219628 A 20020814
US 2003-336285 A 20030103
US 2003-454554P P 20030314

OTHER SOURCE(S): MARPAT 140:199323
GI



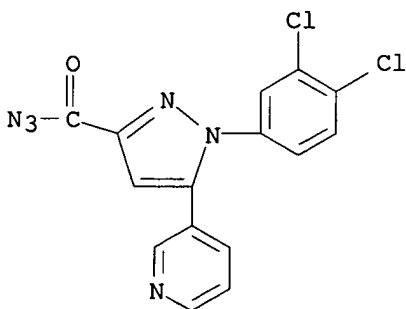
AB Title compds. I [Ar = heterocyclyl; R4 = absent, H, NH₂, CONMe₂, etc.; R5 = absent, i-Pr, Benzyl, etc.; R6 = H, Me, Et, Pr, etc.] and related compds. are prepd. For instance, 1-(3,4-dichlorophenyl)-5-(pyridin-3-yl)-1H-pyrazole-3-carboxylic acid Me ester.bul.HCl (prepn. given) is sapond. (THF/H₂O, NaOH) and converted to the Boc-protected pyrazole-3-amine (i. DMF, t-BuOH, DPPA, Et₃N; ii. t-BuOH, reflux, 4 h) and deprotected to II. Compds. of the invention have inhibitory activity for GTPase I [no data]. I inhibit protein prenylation and are useful for treating cancer, restenosis, psoriasis, etc.

IT **623158-60-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of substituted thiophenes and related compds. as prenylation inhibitors)

RN 623158-60-1 CAPLUS

CN 1H-Pyrazole-3-carbonyl azide, 1-(3,4-dichlorophenyl)-5-(3-pyridinyl)-
(9CI) (CA INDEX NAME)



REFERENCE COUNT:

1

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2003:930982 CAPLUS
 DOCUMENT NUMBER: 140:782
 TITLE: Methods using sulfonamide-containing cyclic compounds
 for treating carbonic anhydrase-mediated disorders
 INVENTOR(S): Masferrer, Jaime L.; O'Neal, Janet M.
 PATENT ASSIGNEE(S): Pharmacia Corporation, USA
 SOURCE: U.S. Pat. Appl. Publ., 43 pp., Cont.-in-part of U.S.
 Ser. No. 213,793.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003220376	A1	20031127	US 2003-367384	20030214
US 2003100594	A1	20030529	US 2002-213793	20020807
WO 2004014430	A1	20040219	WO 2003-US4469	20030214
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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WO 2004014352	A2	20040219	WO 2003-US4494	20030214
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PRIORITY APPLN. INFO.: US 2001-311561P P 20010810
 US 2002-213793 A2 20020807

OTHER SOURCE(S): MARPAT 140:782

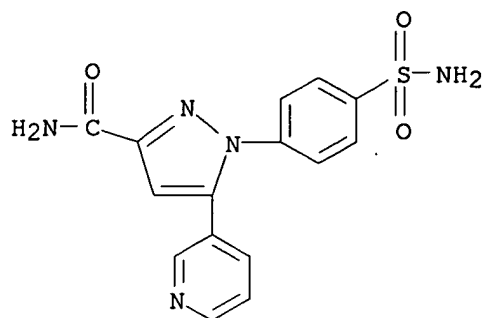
AB The invention provides methods to treat or prevent carbonic anhydrase-mediated diseases or disorders. The method generally comprises administering a cyclic compd. having a sulfonamide group to a subject, wherein the compd. inhibits carbonic anhydrase.

IT 627094-49-9

RL: AGR (Agricultural use); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (sulfonamide-contg. cyclic compds. for treating carbonic anhydrase-mediated disorders, and use with other agents)

RN 627094-49-9 CAPLUS

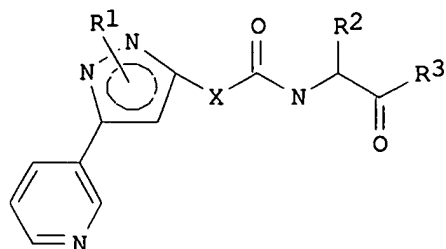
CN 1H-Pyrazole-3-carboxamide, 1-[4-(aminosulfonyl)phenyl]-5-(3-pyridinyl)-(9CI) (CA INDEX NAME)



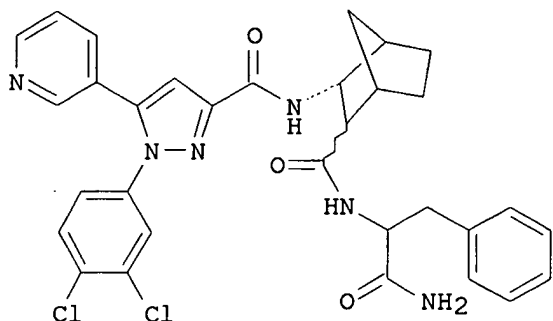
L4 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2003:903255 CAPLUS
 DOCUMENT NUMBER: 139:396168
 TITLE: Preparation of 3-pyridylpyrazole peptide derivatives
 as prenylation inhibitors
 INVENTOR(S): Brown, Bradley B.; Rehder, Kenneth S.
 PATENT ASSIGNEE(S): PPD Discovery, Inc., USA
 SOURCE: U.S., 17 pp., Cont.-in-part of U.S. Ser. No. 219,628,
 abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 5
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6649638	B1	20031118	US 2003-336285	20030103
WO 2004016592	A1	20040226	WO 2003-US24985	20030806
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004116425	A1	20040617	US 2003-636327	20030806
US 2004053970	A1	20040318	US 2003-646256	20030822
PRIORITY APPLN. INFO.:			US 2002-219628	B2 20020814
			US 2003-336285	A 20030103
			US 2003-454554P	P 20030314

GI



I



II

AB The invention is directed to pyridylpyrazole compds. I [X is nitrogen, Ph, pyrazole, methylpyrazole, dimethylpyrazole, pyridine, thiophene, dimethylcyclobutyl, dimethylcyclopropyl or cyclopropyl; R1 is halophenyl; R2 is benzyl, iso-Pr, chlorobenzyl, methylthienyl, (trifluoromethyl)benzyl, ethylthiomethyl, or 1-benzyl-4-pyrazolylmethyl; R3 is NH₂ or OH] for use in the treatment of diseases assocd. with prenylation of proteins. Thus, phenylalaninamide deriv. II was prepd. via peptide coupling reactions and shown to inhibit GGPTase I.

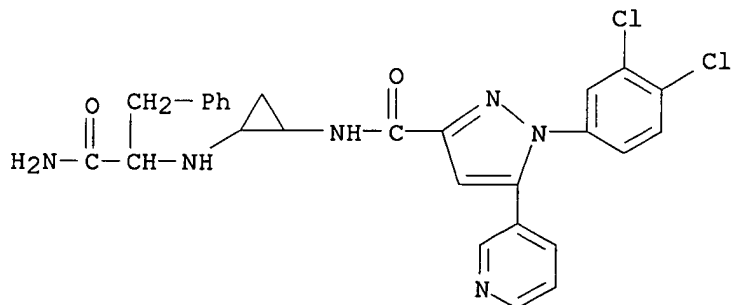
IT **623158-71-4P 627088-86-2P 627088-99-7P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of pyridylpyrazole peptide derivs. as prenylation inhibitors)

RN 623158-71-4 CAPLUS

CN 1H-Pyrazole-3-carboxamide, N-[2-[[[2-amino-2-oxo-1-(phenylmethyl)ethyl]amino]cyclopropyl]-1-(3,4-dichlorophenyl)-5-(3-pyridinyl)]- (9CI) (CA INDEX NAME)



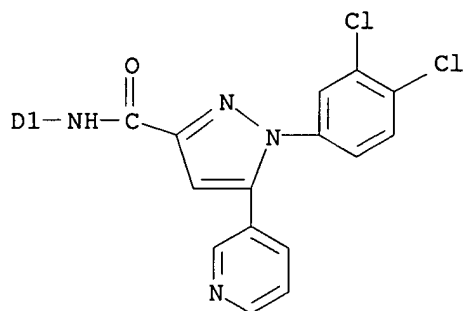
RN 627088-86-2 CAPLUS

CN Cyclohexanecarboxylic acid, [[[[1-(3,4-dichlorophenyl)-5-(3-pyridinyl)-1H-pyrazol-3-yl]carbonyl]amino]- (9CI) (CA INDEX NAME)

10/646,256

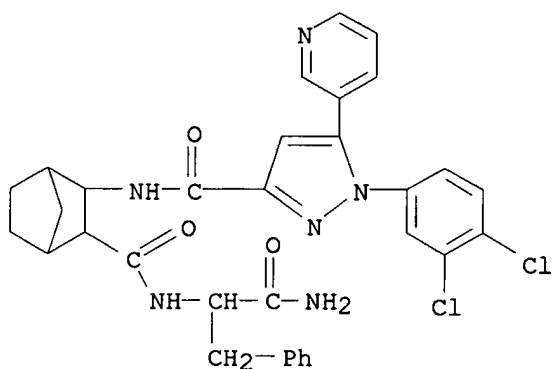


D1-CO₂H



RN 627088-99-7 CAPLUS

CN 1H-Pyrazole-3-carboxamide, N-[3-[[[2-amino-2-oxo-1-(phenylmethyl)ethyl]amino]carbonyl]bicyclo[2.2.1]hept-2-yl]-1-(3,4-dichlorophenyl)-5-(3-pyridinyl)- (9CI) (CA INDEX NAME)



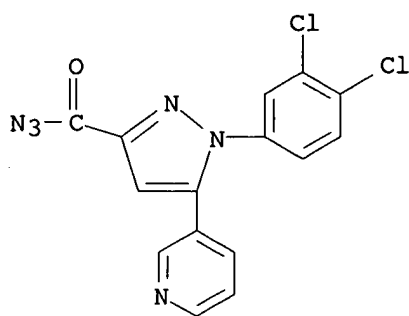
IT 623158-60-1P 623158-63-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of pyridylpyrazole peptide derivs. as prenylation inhibitors)

RN 623158-60-1 CAPLUS

CN 1H-Pyrazole-3-carbonyl azide, 1-(3,4-dichlorophenyl)-5-(3-pyridinyl)- (9CI) (CA INDEX NAME)

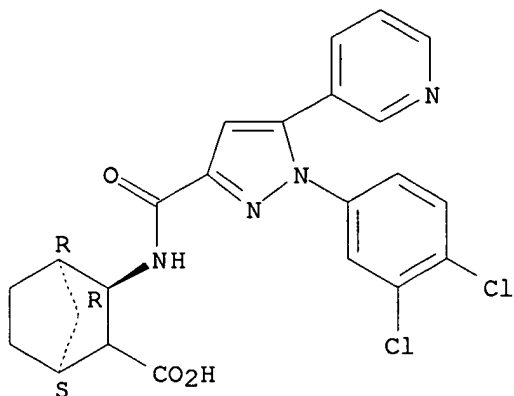
10/646,256



RN 623158-63-4 CAPLUS

CN Bicyclo[2.2.1]heptane-2-carboxylic acid, 3-[[[1-(3,4-dichlorophenyl)-5-(3-pyridinyl)-1H-pyrazol-3-yl]carbonyl]amino]-, (1R,3S,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT 623158-64-5P 623158-65-6P

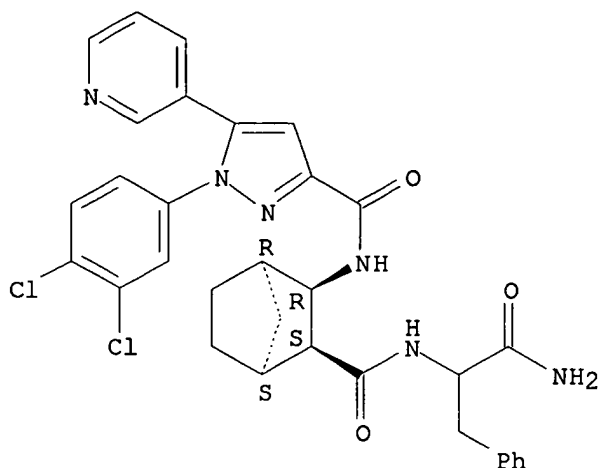
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of pyridylpyrazole peptide derivs. as prenylation inhibitors)

RN 623158-64-5 CAPLUS

CN 1H-Pyrazole-3-carboxamide, N-[(1R,2R,3S,4S)-3-[[[2-amino-2-oxo-1-(phenylmethyl)ethyl]amino]carbonyl]bicyclo[2.2.1]hept-2-yl]-1-(3,4-dichlorophenyl)-5-(3-pyridinyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

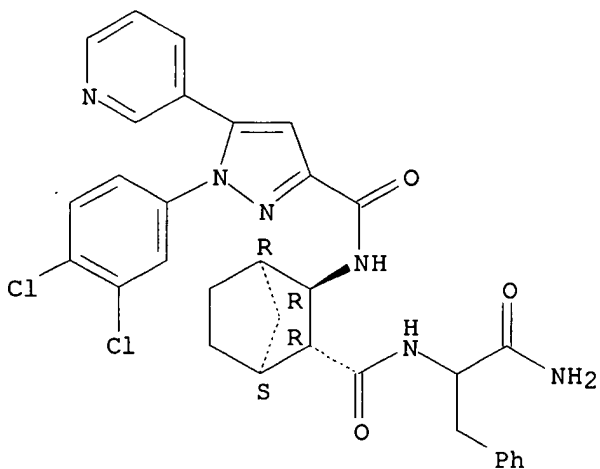
10/646,256



RN 623158-65-6 CAPLUS

CN 1H-Pyrazole-3-carboxamide, N-[(1R,2R,3R,4S)-3-[[[2-amino-2-oxo-1-(phenylmethyl)ethyl]amino]carbonyl]bicyclo[2.2.1]hept-2-yl]-1-(3,4-dichlorophenyl)-5-(3-pyridinyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:356451 CAPLUS

DOCUMENT NUMBER: 138:368907

TITLE: Preparation of pyrazolo[4,3-d]pyrimidin-7-ones as PDE9 inhibitors for treating cardiovascular disorders

INVENTOR(S): Deninno, Michael Paul; Hughes, Bernadette; Kemp, Mark Ian; Palmer, Michael John; Wood, Anthony

PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.

SOURCE: PCT Int. Appl., 69 pp.

CODEN: PIXXD2

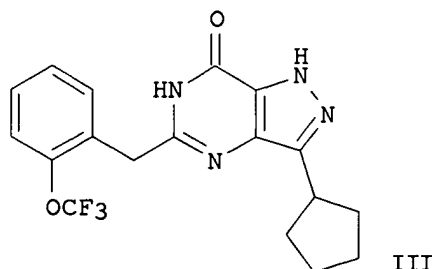
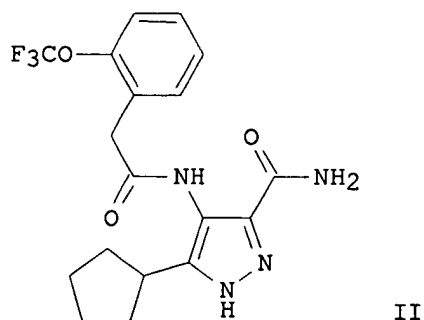
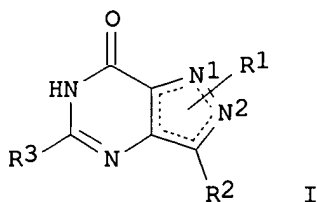
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003037899	A1	20030508	WO 2002-IB4385	20021022
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG EP 1440073 A1 20040728 EP 2002-777623 20021022 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK US 2003195205 A1 20031016 US 2002-283514 20021030 PRIORITY APPLN. INFO.: GB 2001-26395 A 20011102 GB 2001-30695 A 20011221 GB 2002-16761 A 20020718 US 2002-350777P P 20020122 US 2002-399905P P 20020730 WO 2002-IB4385 W 20021022 OTHER SOURCE(S): MARPAT 138:368907 GI				

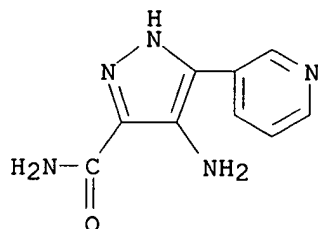


AB The title compds. [I; R1 = H, alkyl, wherein R1 is attached to either N1 or N2; R2 = alkyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, etc.; R3 = alkyl optionally substituted by (un)substituted Ph, cycloalkyl optionally substituted by alkyl, etc.], useful as PDE9 inhibitors for treating cardiovascular disorders, were prepd. and formulated. Thus, cyclization of the pyrazolecarboxamide II in the presence of tert-BuOK in iso-PrOH

10/646,256

afforded III which was found to have a greater than 40% inhibition against PDE9 at 1 .mu.M.

IT **265663-95-4P**, 4-Amino-5-(3-pyridyl)-1H-pyrazole-3-carboxamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. of pyrazolo[4,3-d]pyrimidin-7-ones as PDE9 inhibitors for
treating cardiovascular disorders)
RN 265663-95-4 CAPLUS
CN 1H-Pyrazole-3-carboxamide, 4-amino-5-(3-pyridinyl)- (9CI) (CA INDEX NAME)

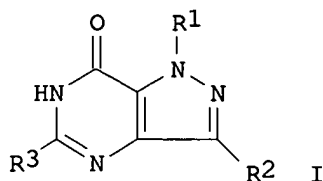


REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

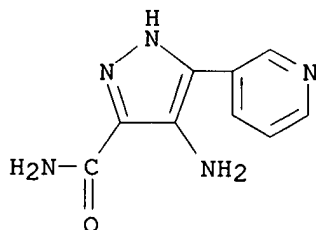
L4 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:356304 CAPLUS
DOCUMENT NUMBER: 138:368899
TITLE: Preparation of pyrazolopyrimidinones as PDE9
inhibitors for treatment of insulin resistance
syndrome and type 2 diabetes
INVENTOR(S): Fryburg, David Albert; Gibbs, Earl Michael
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 104 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003037432	A1	20030508	WO 2002-IB3754	20020912
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

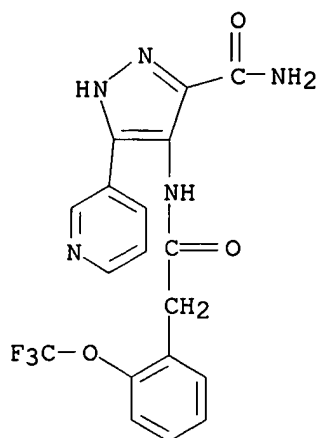
US 2004023989 A1 20040205 US 2002-283814 20021029
PRIORITY APPLN. INFO.: US 2001-336981P P 20011102
OTHER SOURCE(S): MARPAT 138:368899
GI



- AB Pyrazolopyrimidinones I [R1 = H, alkyl; R2 = alkyl, cycloalkyl, heterocyclic; R3 = (un)substituted alkyl] were prepd. for use as PDE9 inhibitors in treating insulin resistance syndrome (IRS), hypertension and/or type 2 diabetes. Thus, Me2CHCOMe was treated with EtO2CCO2Et to give Me2CHCOCH2CO2Et which was cyclized with N2H4 to give Et 5-isopropyl-1H-pyrazole-3-carboxylate. This ester was hydrolyzed to the acid, nitrated, amidated, and reduced to give 4-amino-5-isopropyl-1H-pyrazole-3-carboxamide. Cyclization of this amide with 3-ClC6H4CH2CO2H gave I [R1 = H, R2 = CHMe2, R3 = 3-ClC6H4CH2] which reduced plasma glucose, triglycerides, and insulin at 10 mg/kg day for 5 days orally in mice.
- IT **265663-95-4**
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (prepn. of pyrazolopyrimidinones as PDE9 inhibitors for treatment of insulin resistance syndrome and type 2 diabetes)
- RN 265663-95-4 CAPLUS
- CN 1H-Pyrazole-3-carboxamide, 4-amino-5-(3-pyridinyl)- (9CI) (CA INDEX NAME)



- IT **521300-42-5P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. of pyrazolopyrimidinones as PDE9 inhibitors for treatment of insulin resistance syndrome and type 2 diabetes)
- RN 521300-42-5 CAPLUS
- CN 1H-Pyrazole-3-carboxamide, 5-(3-pyridinyl)-4-[[[2-(trifluoromethoxy)phenyl]acetyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:419957 CAPLUS

DOCUMENT NUMBER: 133:150380

TITLE: Synthesis and structure-activity relationships of quaternary ammonium cephalosporins with 3-pyrazolylpyridinium derivatives

AUTHOR(S): Chang, Kwan Young; Kim, Sung Hoon; Nam, Ghilsoo; Seo, Jae Hong; Kim, Joong Hyup; Ha, Deok-Chan

CORPORATE SOURCE: Biochemicals Research Center, Korea Institute of Science and Technology, Seoul, 130-650, S. Korea

SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(11), 1211-1214

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Cephalosporins with 3-pyrazolylpyridinium at C-3 position, which is supposed to exhibit synergic activity of ceftazidime and cefoselis, were synthesized and their antibacterial activity against Gram-pos. and Gram-neg. was inspected.

IT **287494-13-7P 287494-14-8P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis and structure-activity relationships of quaternary ammonium cephalosporins with 3-pyrazolylpyridinium derivs.)

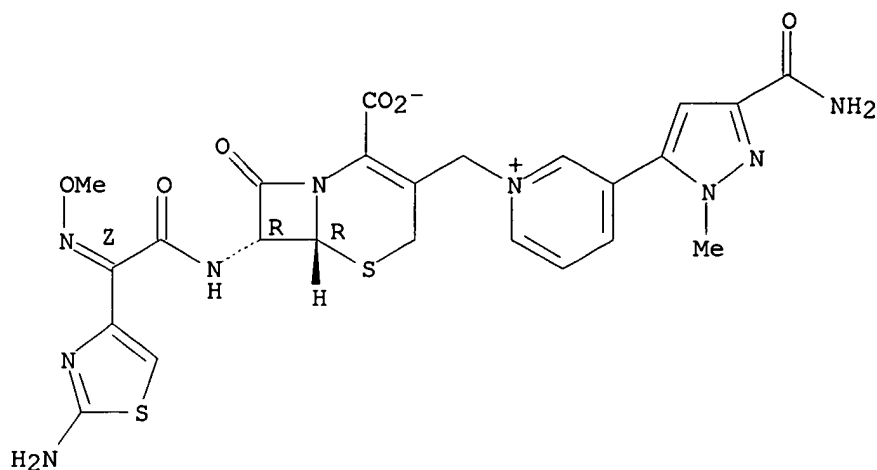
RN 287494-13-7 CAPLUS

CN Pyridinium, 3-[3-(aminocarbonyl)-1-methyl-1H-pyrazol-5-yl]-1-[[[(6R,7R)-7-[[[(2Z)-(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-, inner salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

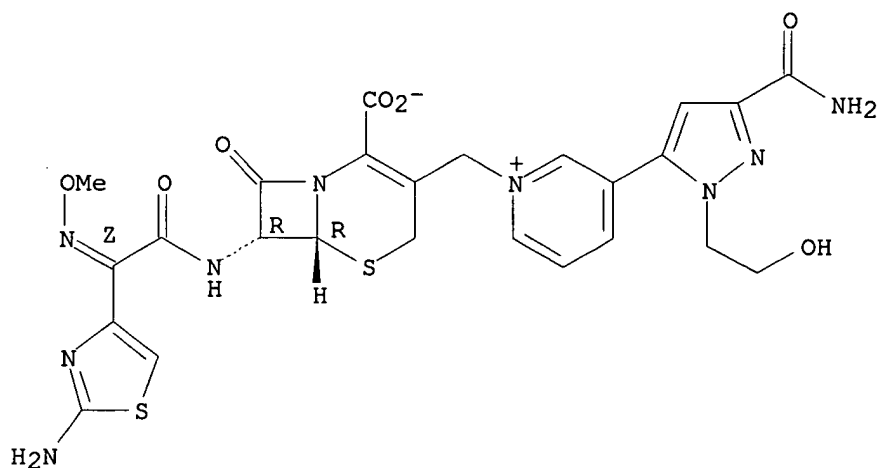
10/646,256



RN 287494-14-8 CAPLUS

CN Pyridinium, 3-[3-(aminocarbonyl)-1-(2-hydroxyethyl)-1H-pyrazol-5-yl]-1-
[[[(6R,7R)-7-[[[(2Z)-(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-2-
carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-, inner salt
(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



IT 287494-01-3P 287494-19-3P 287494-20-6P

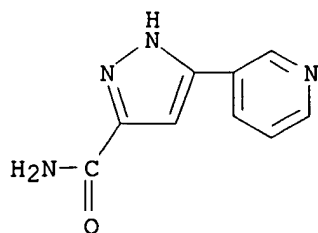
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(synthesis and structure-activity relationships of quaternary ammonium
cephalosporins with 3-pyrazolylpyridinium derivs.)

RN 287494-01-3 CAPLUS

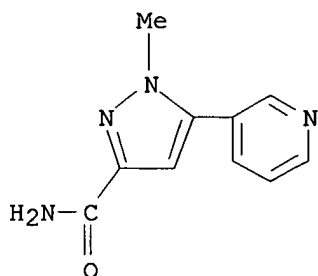
CN 1H-Pyrazole-3-carboxamide, 5-(3-pyridinyl)- (9CI) (CA INDEX NAME)

10/646,256



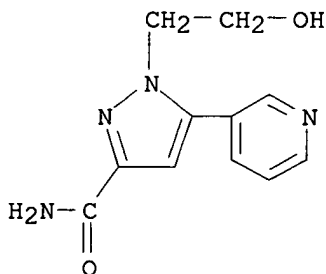
RN 287494-19-3 CAPLUS

CN 1H-Pyrazole-3-carboxamide, 1-methyl-5-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 287494-20-6 CAPLUS

CN 1H-Pyrazole-3-carboxamide, 1-(2-hydroxyethyl)-5-(3-pyridinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:291043 CAPLUS

DOCUMENT NUMBER: 132:308353

TITLE: Preparation of pyrazolopyrimidinones as cGMP phosphodiesterase inhibitors

INVENTOR(S): Bunnage, Mark Edward; Maw, Graham Nigel; Rawson, David James; Wood, Anthony; Mathias, John Paul; Street, Stephen Derek Albert

PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.

SOURCE: PCT Int. Appl., 197 pp.

CODEN: PIXXD2

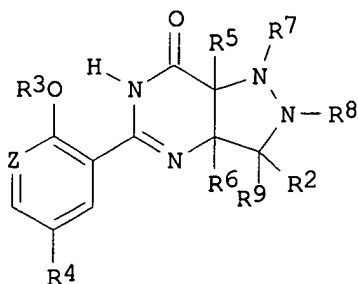
DOCUMENT TYPE: Patent

LANGUAGE: English

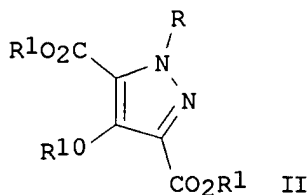
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000024745	A1	20000504	WO 1999-IB1706	19991019
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9959956	A1	20000515	AU 1999-59956	19991019
BR 9915532	A	20010814	BR 1999-15532	19991019
EP 1123296	A1	20010816	EP 1999-970992	19991019
EP 1123296	B1	20030917		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002528456	T2	20020903	JP 2000-578315	19991019
AT 250063	E	20031015	AT 1999-970992	19991019
PT 1123296	T	20031231	PT 1999-970992	19991019
ES 2205945	T3	20040501	ES 1999-970992	19991019
US 6333330	B1	20011225	US 1999-426554	19991022
PRIORITY APPLN. INFO.:			GB 1998-23101	A 19981023
			GB 1998-23102	A 19981023
			WO 1999-IB1706	W 19991019

OTHER SOURCE(S): MARPAT 132:308353
GI



I



II

AB Title compds. [I; R2 = CONH2, CO2H, alkoxycarbonyl, (acyl)amino, etc.; R3 = H or (un)substituted alkyl; R4 = SO2NR14R15; R5R6 and R8R9 = bond and R7 = H, alkyl, heterocyclyl, aryl, etc.; R5R7 and R6R9 = bond and R8 = H, alkyl, heterocyclyl, aryl, etc.; NR14R15 = heterocyclyl; Z = CH or N] were prepd. for treatment of sexual dysfunction. Thus, pyrazole-3,5-dicarboxylic acid was nitrated and the product esterified to give pyrazolecarboxylate II (R = H, R1 = Me, R10 = NO2) which was N-alkylated by 2-chloromethylpyridine and the reduced product amidated by 2-(PrO)C6H4COCl to give II [R = 2-pyridylmethyl, R1 = Me, R10 = NHCOC6H4(OPr)-2]. The latter was heated with NH3 at 100.degree. to give I (R2 = CONH2, R3 = Pr, R5R6, R8R9 = bond, R7 = 2-pyridylmethyl) (III; R4 = H) which was converted to III (R4 = 4-methyl-1-pyrazinylsulfonyl). Data for biol. activity of I were given.

IT 265663-95-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

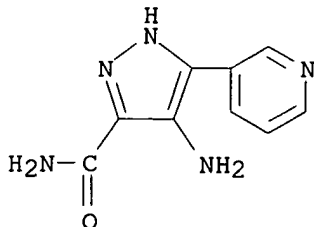
10/646,256

(Reactant or reagent)

(prepn. of pyrazolopyrimidinones as cGMP phosphodiesterase inhibitors)

RN 265663-95-4 CAPLUS

CN 1H-Pyrazole-3-carboxamide, 4-amino-5-(3-pyridinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:281619 CAPLUS

DOCUMENT NUMBER: 124:317155

TITLE: Preparation of halopyrazolecarboxylic acids as herbicides

INVENTOR(S): Sato, Kazuo; Kudo, Noriaki; Pponma, Toyokuni; Endo, Takeshi; Kadotani, Junji; Horibe, Yoshimichi

PATENT ASSIGNEE(S): Sankyo Co, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 26 pp.

CODEN: JKXXAF

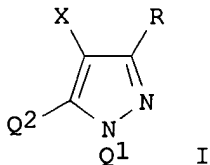
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08012654	A2	19960116	JP 1994-144235	19940627
PRIORITY APPLN. INFO.:			JP 1994-144235	19940627
OTHER SOURCE(S):		MARPAT 124:317155		
GI				



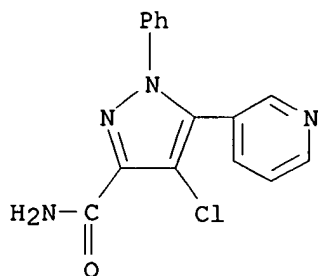
AB The title compds. I [R = carboxyl, etc.; X = halo; Q1 = Ph, pyridinyl; Q2 = Ph, etc.] are prepd. I [X = Cl; Q1 = Q2 = phenyl; R = CO2Me] (m.p. 153 - 155.degree.) (at 10 g/are) gave 91 - 100% control of Echinochloa oryzicola and Scirpus juncooides and caused no damage to rice plants.

IT 176232-25-0P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of halopyrazolecarboxylic acids as herbicides)

RN 176232-25-0 CAPLUS

CN 1H-Pyrazole-3-carboxamide, 4-chloro-1-phenyl-5-(3-pyridinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1969:470595 CAPLUS
 DOCUMENT NUMBER: 71:70595
 TITLE: 5-Substituted pyrazole-3-carboxylic acid hydrazides
 INVENTOR(S): Walker, Gordon Northrop
 PATENT ASSIGNEE(S): CIBA Corp.
 SOURCE: U.S., 3 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3449350	A	19690610	US 1968-739135	19680624

PRIORITY APPLN. INFO.: US 1968-739135 19680624

GI For diagram(s), see printed CA Issue.

AB Quaternary and addn. salts of the title compds. (I) with antitumor properties, are prepd. Thus, MeONa (from 5 g. Na) was suspended in 1 l. Et2O, 25.0 g. 1- acetylcyclohexene in 30.0 g. (CO2Et)2 added slowly and the mixt. kept at room temp. 2.5 days to give Et 2,3-dioxo-4-(1-cyclohexen-1-yl)butyrate (II). II (20.0 g.) and 200 cc. 5% aq. NaOH was stirred 15 min. at 90.degree., to give 2,3-dioxo-4-(1-cyclohex-1-enyl)butyric acid (III), m. 110-12.degree. (Et2O-petroleum ether). III (13.0 g.) in 100 cc. EtOH and 25 cc. 95% N2H4 was heated 30 min. at 95.degree. to give 5-(1-cyclohexen-1-yl)pyrazole-3-carboxylic acid (IV), m. 259-60.degree. (decompn.). IV (11.0 g.) and 120 cc. SOCl2 was refluxed 30 min. and evapd. in vacuo, 25 cc. 95% N2H4 added slowly and the mixt. heated at 5 min. 95.degree. to give I (R = 1-cyclohexen-1-yl) (Ia), m. 188-90.degree., also prepd. from 32.2 g. II and 150 cc. 95% N2H4 in 300 cc. EtOH heated 30 min. at 95.degree.. MeONa from 5.8 g. Na was added to 28.0 g. 3-acetylpyridine and 36.8 g. (CO2Et)2 in 50 cc. Et2O while stirring and cooling, the mixt. kept 3 days at room temp. and poured into 220 cc. ice water and the stirred soln. acidified with 18% aq. HCl to pH 5.5 to give Me 2,3-dioxo-3-(3-pyridyl)butyrate (V), m. 119-21.degree. (EtOH). Trans-esterification occurred during the reaction. A mixt. of 5.0 g. V, 1.6 g. NH2OH.HCl, and 50 cc. EtOH was refluxed 1 hr., to give 2-hydroxyimino-3-oxo-3-(3-pyridyl)butyrate (VI) hydrochloride, m. 201-2.degree. (EtOH-MeOH), 1.0 g. of which in the min. amt. EtOH was added to satd. aq. NaHCO3 to give the free base (VI), m. 133-5.degree. (MeOH-Et2O). VI (0.5 g.), 5 drops 95% N2H4, and 10 cc. EtOH was kept at room temp. overnight to give 1-hydroxyimino-3-oxo-3-(3-pyridyl)butyric acid hydrazide, m. 192-4.degree. (decompn.), 0.4 g. of which and 2 cc. 95%

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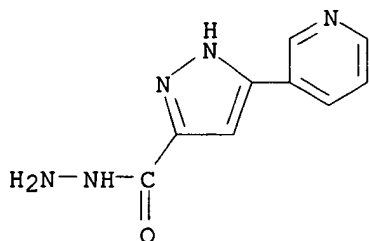
N2H4 was heated at 95.degree. for 30 min. and evapd. in vacuo, the residue dissolved in 10 cc. MeOH contg. 0.5 cc. N2H4 and the soln. refluxed 1 hr. to give 5- (3-pyridyl)-pyrazole-3-carboxylic acid hydrazide (I, R = 3-pyridyl) (Ib), m. 263-5.degree. (decompn.) (MeOH). Ib was also prepd. from 11.6 g. V and 20 cc. 95% N2H4 heated in 200 cc. EtOH 10 min. at 95.degree..

IT **23424-35-3P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 23424-35-3 CAPLUS

CN Pyrazole-3-carboxylic acid, 5-(3-pyridyl)-, hydrazide (8CI) (CA INDEX NAME)



=> file uspatall

FILE 'USPATFULL' ENTERED AT 12:50:58 ON 28 JUL 2004

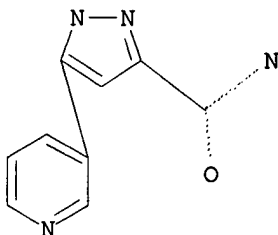
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 12:50:58 ON 28 JUL 2004

CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

=> d que

L1 STR



Structure attributes must be viewed using STN Express query preparation.

L3 17 SEA FILE=REGISTRY SSS FUL L1

L5 6 SEA L3

=> d 15 1-6 ibib abs hitstr

L5 ANSWER 1 OF 6 USPATFULL on STN

ACCESSION NUMBER: 2004:152203 USPATFULL

TITLE: Prenylation inhibitors and methods of their synthesis and use

INVENTOR(S): Li, Francine Feirong, Raleigh, NC, UNITED STATES

Rehder, Kenneth S., Durham, NC, UNITED STATES

Campbell, Michael Gordon, Sagamore Hills, OH, UNITED STATES

STATES

Viscardi, Celeste Patrice, Raleigh, NC, UNITED STATES

Strachan, Jon-Paul, Durham, NC, UNITED STATES

Guo, Zhengming, Raleigh, NC, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004116425	A1	20040617
APPLICATION INFO.:	US 2003-636327	A1	20030806 (10)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 2003-336285, filed on 3 Jan 2003, GRANTED, Pat. No. US 6649638		
	Continuation-in-part of Ser. No. US 2002-219628, filed on 14 Aug 2002, ABANDONED		

	NUMBER	DATE
PRIORITY INFORMATION:	US 2003-454554P	20030314 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	SHERIDAN ROSS PC, 1560 BROADWAY, SUITE 1200, DENVER, CO, 80202	
NUMBER OF CLAIMS:	36	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	17 Drawing Page(s)	
LINE COUNT:	2734	
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		
AB	The present invention is directed to compounds useful in the treatment of diseases associated with prenylation of proteins and pharmaceutically acceptable salts thereof, to pharmaceutical compositions comprising same, and to methods for inhibiting protein prenylation in an organism using the same.	

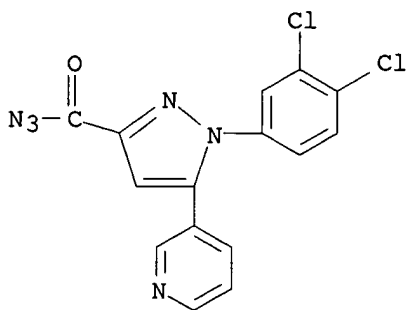
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 623158-60-1P

(prepn. of substituted thiophenes and related compds. as prenylation inhibitors)

RN 623158-60-1 USPATFULL

CN 1H-Pyrazole-3-carbonyl azide, 1-(3,4-dichlorophenyl)-5-(3-pyridinyl)-(9CI) (CA INDEX NAME)



L5 ANSWER 2 OF 6 USPATFULL on STN

ACCESSION NUMBER: 2004:70742 USPATFULL

TITLE: Prenylation inhibitors and methods of their synthesis and use

INVENTOR(S): Brown, Bradley B., Durham, NC, UNITED STATES
Rehder, Kenneth S., Durham, NC, UNITED STATES

10/646,256

PATENT ASSIGNEE(S): PPD Discovery, Inc. (U.S. corporation)

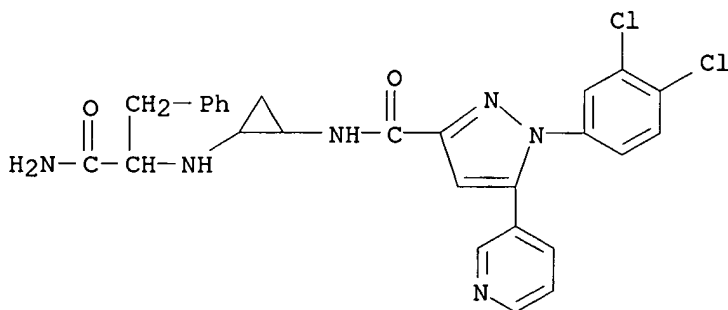
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004053970	A1	20040318
APPLICATION INFO.:	US 2003-646256	A1	20030822 (10)
RELATED APPLN. INFO.:	Division of Ser. No. US 2003-336285, filed on 3 Jan 2003, GRANTED, Pat. No. US 6649638 Continuation-in-part of Ser. No. US 2002-219628, filed on 14 Aug 2002, ABANDONED		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	APPLICATION		
LEGAL REPRESENTATIVE:	Gary J. Connell, SHERIDAN ROSS P.C., Suite 1200, 1560 Broadway, Denver, CO, 80202-5141		
NUMBER OF CLAIMS:	62		
EXEMPLARY CLAIM:	1		
LINE COUNT:	1493		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention is directed to compounds useful in the treatment of diseases associated with prenylation of proteins and pharmaceutically acceptable salts thereof, to pharmaceutical compositions comprising same, and to methods for inhibiting protein prenylation in an organism using the same.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **623158-71-4P 627088-86-2P 627088-99-7P**
(prepn. of pyridylpyrazole peptide derivs. as prenylation inhibitors)
RN 623158-71-4 USPATFULL
CN 1H-Pyrazole-3-carboxamide, N-[2-[[2-amino-2-oxo-1-(phenylmethyl)ethyl]amino]cyclopropyl]-1-(3,4-dichlorophenyl)-5-(3-pyridinyl)- (9CI) (CA INDEX NAME)

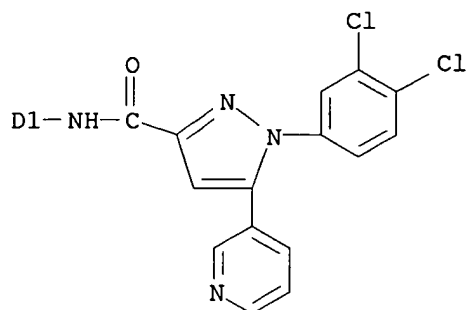


RN 627088-86-2 USPATFULL
CN Cyclohexanecarboxylic acid, [[[[1-(3,4-dichlorophenyl)-5-(3-pyridinyl)-1H-pyrazol-3-yl]carbonyl]amino]- (9CI) (CA INDEX NAME)

10/646,256

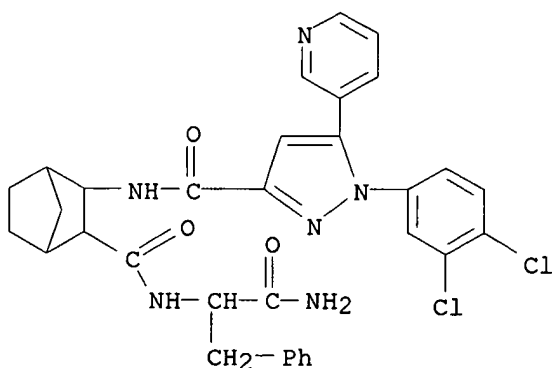


D1-CO₂H



RN 627088-99-7 USPATFULL

CN 1H-Pyrazole-3-carboxamide, N-[3-[[[2-amino-2-oxo-1-(phenylmethyl)ethyl]amino]carbonyl]bicyclo[2.2.1]hept-2-yl]-1-(3,4-dichlorophenyl)-5-(3-pyridinyl)- (9CI) (CA INDEX NAME)

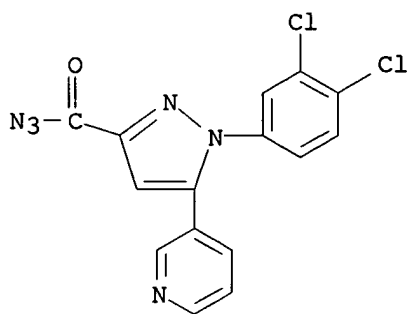


IT 623158-60-1P 623158-63-4P
(prepn. of pyridylpyrazole peptide derivs. as prenylation inhibitors)

RN 623158-60-1 USPATFULL

CN 1H-Pyrazole-3-carbonyl azide, 1-(3,4-dichlorophenyl)-5-(3-pyridinyl)- (9CI) (CA INDEX NAME)

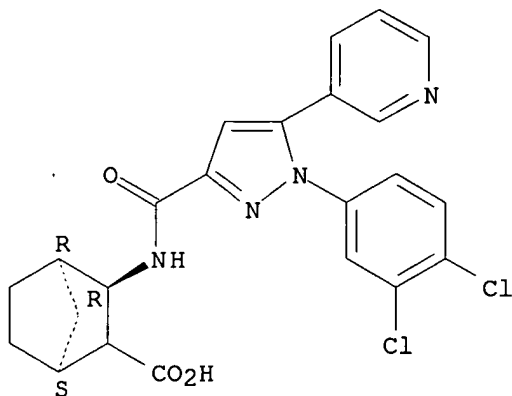
10/646,256



RN 623158-63-4 USPATFULL

CN Bicyclo[2.2.1]heptane-2-carboxylic acid, 3-[[[1-(3,4-dichlorophenyl)-5-(3-pyridinyl)-1H-pyrazol-3-yl]carbonyl]amino]-, (1R,3S,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT 623158-64-5P 623158-65-6P

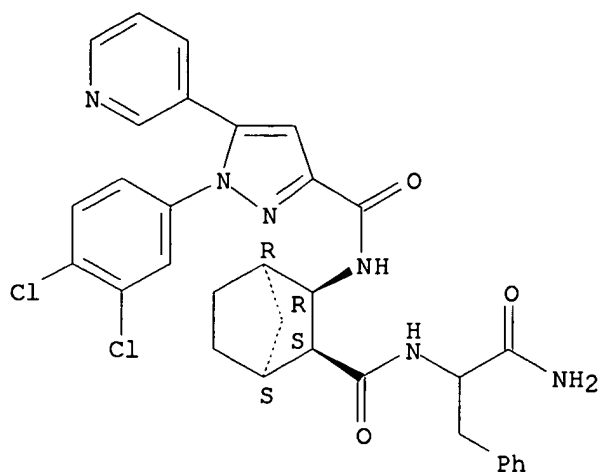
(prepn. of pyridylpyrazole peptide derivs. as prenylation inhibitors)

RN 623158-64-5 USPATFULL

CN 1H-Pyrazole-3-carboxamide, N-[(1R,2R,3S,4S)-3-[[[2-amino-2-oxo-1-(phenylmethyl)ethyl]amino]carbonyl]bicyclo[2.2.1]hept-2-yl]-1-(3,4-dichlorophenyl)-5-(3-pyridinyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

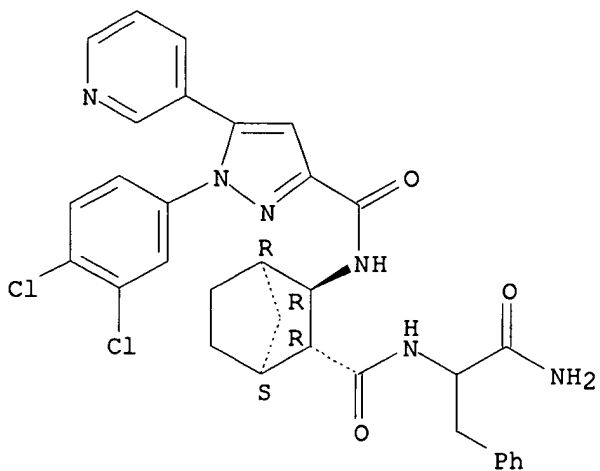
10/646,256



RN 623158-65-6 USPATFULL

CN 1H-Pyrazole-3-carboxamide, N-[(1R,2R,3R,4S)-3-[[[2-amino-2-oxo-1-(phenylmethyl)ethyl]amino]carbonyl]bicyclo[2.2.1]hept-2-yl]-1-(3,4-dichlorophenyl)-5-(3-pyridinyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L5 ANSWER 3 OF 6 USPATFULL on STN

ACCESSION NUMBER: 2004:31847 USPATFULL

TITLE: Treatment of insulin resistance syndrome and type 2 diabetes with PDE9 inhibitors

INVENTOR(S): Fryburg, David A., East Lyme, CT, UNITED STATES
Gibbs, Earl Michael, Oakdale, CT, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004023989	A1	20040205
APPLICATION INFO.:	US 2002-283814	A1	20021029 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-336981P	20011102 (60)
DOCUMENT TYPE:	Utility	

10/646,256

FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: PFIZER INC., PATENT DEPARTMENT, MS8260-1611, EASTERN
POINT ROAD, GROTON, CT, 06340

NUMBER OF CLAIMS: 32
EXEMPLARY CLAIM: 1
LINE COUNT: 3315

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention is directed to a method of treating insulin resistance syndrome (IRS), hypertension and/or type 2 diabetes in a mammal comprising administering to said mammal a cGMP PDE9 inhibitor or a pharmaceutical composition thereof. This invention is also directed to such methods wherein said cGMP PDE9 inhibitor is used in combination with other agents to treat IRS, hypertension and/or type 2 diabetes.

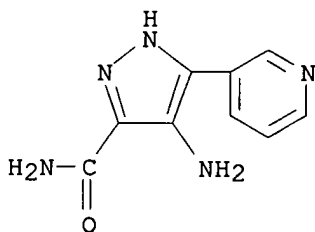
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 265663-95-4

(prepn. of pyrazolopyrimidinones as PDE9 inhibitors for treatment of insulin resistance syndrome and type 2 diabetes)

RN 265663-95-4 USPATFULL

CN 1H-Pyrazole-3-carboxamide, 4-amino-5-(3-pyridinyl)- (9CI) (CA INDEX NAME)

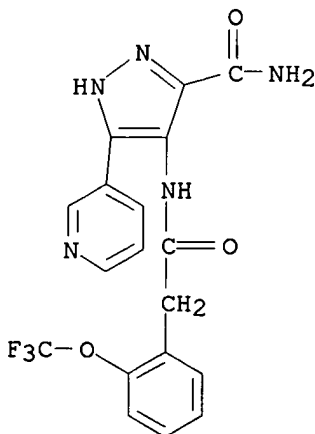


IT 521300-42-5P

(prepn. of pyrazolopyrimidinones as PDE9 inhibitors for treatment of insulin resistance syndrome and type 2 diabetes)

RN 521300-42-5 USPATFULL

CN 1H-Pyrazole-3-carboxamide, 5-(3-pyridinyl)-4-[[[2-(trifluoromethoxy)phenyl]acetyl]amino]- (9CI) (CA INDEX NAME)



L5 ANSWER 4 OF 6 USPATFULL on STN

ACCESSION NUMBER: 2003:312771 USPATFULL

TITLE: Methods for treating carbonic anhydrase mediated

10/646,256

disorders
INVENTOR(S): Masferrer, Jaime L., Ballwin, MO, UNITED STATES
O'Neal, Janet M., St. Louis, MO, UNITED STATES
PATENT ASSIGNEE(S): Pharmacia Corporation (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003220376	A1	20031127
APPLICATION INFO.:	US 2003-367384	A1	20030214 (10)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 2002-213793, filed on 7 Aug 2002, PENDING		

	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-311561P	20010810 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	SENNIGER POWERS LEAVITT AND ROEDEL, ONE METROPOLITAN SQUARE, 16TH FLOOR, ST LOUIS, MO, 63102	
NUMBER OF CLAIMS:	50	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1946	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The current invention provides methods to treat or prevent carbonic anhydrase mediated diseases or disorders. The method generally comprises administering a tricyclic compound having a sulfonamide group to a subject wherein the compound inhibits carbonic anhydrase.

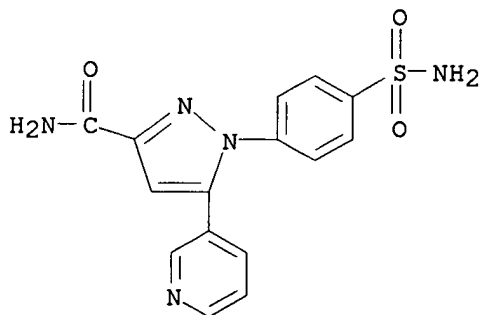
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **627094-49-9**

(sulfonamide-contg. cyclic compds. for treating carbonic anhydrase-mediated disorders, and use with other agents)

RN 627094-49-9 USPATFULL

CN 1H-Pyrazole-3-carboxamide, 1-[4-(aminosulfonyl)phenyl]-5-(3-pyridinyl)-(9CI) (CA INDEX NAME)



L5 ANSWER 5 OF 6 USPATFULL on STN

ACCESSION NUMBER: 2003:302881 USPATFULL

TITLE: Prenylation inhibitors and methods of their synthesis and use

INVENTOR(S): Brown, Bradley B., Durham, NC, United States
Rehder, Kenneth S., Durham, NC, United States

PATENT ASSIGNEE(S): PPD Discovery, Inc., Morrisville, NC, United States
(U.S. corporation)

NUMBER	KIND	DATE
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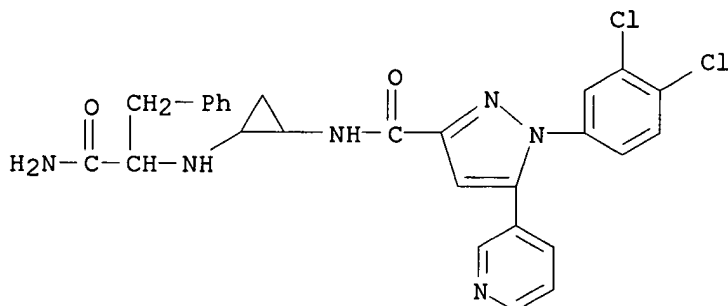
PATENT INFORMATION: US 6649638 B1 20031118
 APPLICATION INFO.: US 2003-336285 20030103 (10)
 RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 2002-219628, filed
 on 14 Aug 2002, now abandoned
 DOCUMENT TYPE: Utility
 FILE SEGMENT: GRANTED
 PRIMARY EXAMINER: Fan, Jane
 LEGAL REPRESENTATIVE: Sheridan Ross P.C.
 NUMBER OF CLAIMS: 15
 EXEMPLARY CLAIM: 1
 NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)
 LINE COUNT: 1348

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention is directed to compounds useful in the treatment
 of diseases associated with prenylation of proteins and pharmaceutically
 acceptable salts thereof, to pharmaceutical compositions comprising
 same, and to methods for inhibiting protein prenylation in an organism
 using the same.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **623158-71-4P 627088-86-2P 627088-99-7P**
 (prepn. of pyridylpyrazole peptide derivs. as prenylation inhibitors)
 RN 623158-71-4 USPATFULL
 CN 1H-Pyrazole-3-carboxamide, N-[2-[[2-amino-2-oxo-1-
 (phenylmethyl)ethyl]amino]cyclopropyl]-1-(3,4-dichlorophenyl)-5-(3-
 pyridinyl)- (9CI) (CA INDEX NAME)

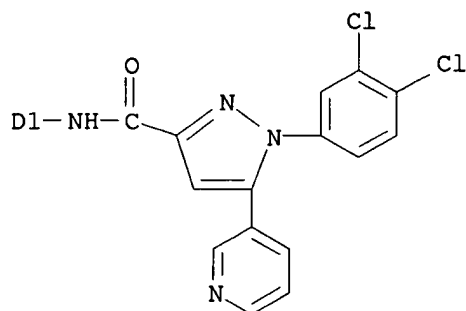


RN 627088-86-2 USPATFULL
 CN Cyclohexanecarboxylic acid, [[[1-(3,4-dichlorophenyl)-5-(3-pyridinyl)-1H-
 pyrazol-3-yl]carbonyl]amino]- (9CI) (CA INDEX NAME)

10/646,256

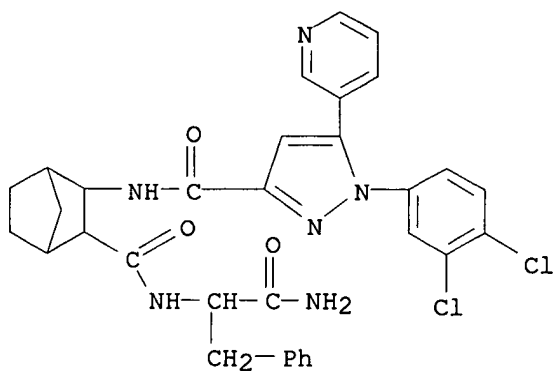


D1-CO₂H



RN 627088-99-7 USPATFULL

CN 1H-Pyrazole-3-carboxamide, N-[3-[[[2-amino-2-oxo-1-(phenylmethyl)ethyl]amino]carbonyl]bicyclo[2.2.1]hept-2-yl]-1-(3,4-dichlorophenyl)-5-(3-pyridinyl)- (9CI) (CA INDEX NAME)



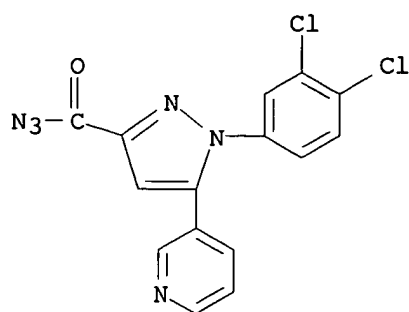
IT 623158-60-1P 623158-63-4P

(prepn. of pyridylpyrazole peptide derivs. as prenylation inhibitors)

RN 623158-60-1 USPATFULL

CN 1H-Pyrazole-3-carbonyl azide, 1-(3,4-dichlorophenyl)-5-(3-pyridinyl)- (9CI) (CA INDEX NAME)

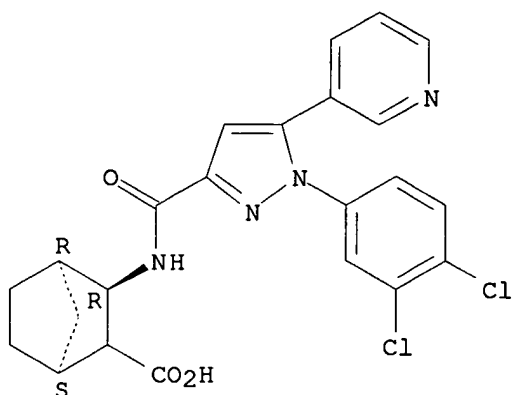
10/646,256



RN 623158-63-4 USPATFULL

CN Bicyclo[2.2.1]heptane-2-carboxylic acid, 3-[[[1-(3,4-dichlorophenyl)-5-(3-pyridinyl)-1H-pyrazol-3-yl]carbonyl]amino]-, (1R,3S,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT 623158-64-5P 623158-65-6P

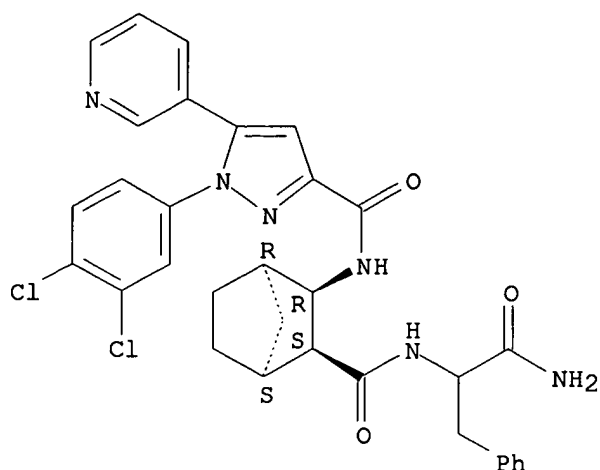
(prepn. of pyridylpyrazole peptide derivs. as prenylation inhibitors)

RN 623158-64-5 USPATFULL

CN 1H-Pyrazole-3-carboxamide, N-[(1R,2R,3S,4S)-3-[[[2-amino-2-oxo-1-(phenylmethyl)ethyl]amino]carbonyl]bicyclo[2.2.1]hept-2-yl]-1-(3,4-dichlorophenyl)-5-(3-pyridinyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

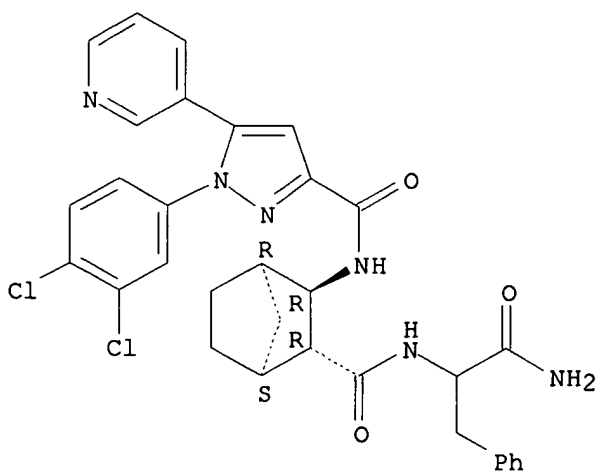
10/646,256



RN 623158-65-6 USPATFULL

CN 1H-Pyrazole-3-carboxamide, N-[(1R,2R,3R,4S)-3-[[[2-amino-2-oxo-1-(phenylmethyl)ethyl]amino]carbonyl]bicyclo[2.2.1]hept-2-yl]-1-(3,4-dichlorophenyl)-5-(3-pyridinyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L5 ANSWER 6 OF 6 USPATFULL on STN

ACCESSION NUMBER: 2003:277178 USPATFULL

TITLE: PDE9 inhibitors for treating cardiovascular disorders

INVENTOR(S): DeNinno, Michael Paul, Gales Ferry, CT, UNITED STATES

Hughes, Bernadette, Sandwich, UNITED KINGDOM

Kemp, Mark Ian, Sandwich, UNITED KINGDOM

Palmer, Michael John, Sandwich, UNITED KINGDOM

Wood, Anthony, Sandwich, UNITED KINGDOM

PATENT ASSIGNEE(S): Pfizer Inc. (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003195205	A1	20031016
APPLICATION INFO.:	US 2002-283514	A1	20021030 (10)

NUMBER	DATE
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PRIORITY INFORMATION: GB 2001-26395 20011102
 GB 2001-30695 20011221
 GB 2002-16761 20020718
 US 2002-350777P 20020122 (60)
 US 2002-399905P 20020730 (60)
 DOCUMENT TYPE: Utility
 FILE SEGMENT: APPLICATION
 LEGAL REPRESENTATIVE: PFIZER INC, 150 EAST 42ND STREET, 5TH FLOOR - STOP 49,
 NEW YORK, NY, 10017-5612
 NUMBER OF CLAIMS: 26
 EXEMPLARY CLAIM: 1
 LINE COUNT: 1888

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to PDE9 inhibitors for treating cardiovascular disorders. Preferred PDE9 inhibitors are compounds of formula I wherein R.sup.1 is H or C.sub.1-6 alkyl, wherein R.sup.1 is attached to either N.sup.1 or N.sup.2; R.sup.2 is C.sub.1-6 alkyl optionally substituted by hydroxy or alkoxy; C.sub.3-7 cycloalkyl optionally substituted by alkyl, hydroxy or alkoxy; a saturated 5-6-membered heterocycle optionally substituted by alkyl, hydroxy or alkoxy; het1 or Ar.sup.1; R.sup.3 is C.sub.1-6 alkyl optionally substituted by 1 or 2 groups independently selected from: Ar.sup.2; C.sub.3-7cycloalkyl optionally substituted by C.sub.1-6alkyl; OAr.sup.2; SAr.sup.2; NHC(O)C.sub.1-6 alkyl; het.sup.2; xanthene; and naphthalene. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **265663-95-4P**, 4-Amino-5-(3-pyridyl)-1H-pyrazole-3-carboxamide
 (prepn. of pyrazolo[4,3-d]pyrimidin-7-ones as PDE9 inhibitors for
 treating cardiovascular disorders)
 RN 265663-95-4 USPATFULL
 CN 1H-Pyrazole-3-carboxamide, 4-amino-5-(3-pyridinyl)- (9CI) (CA INDEX NAME)

